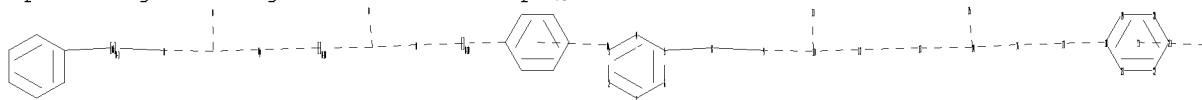


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Uploading C:\Program Files\Stnexp\Queries\10551557-broad.str



chain nodes :  
8 9 10 11 12 13 14 15 16 17 30  
ring nodes :  
1 2 3 4 5 6 18 19 20 21 22 23  
chain bonds :  
5-8 8-9 9-10 10-11 10-12 12-13 13-14 14-15 14-16 16-17 17-18  
ring bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 18-19 18-23 19-20 20-21 21-22 22-23  
exact/norm bonds :  
5-8 8-9 9-10 10-11 10-12 12-13 13-14 14-15 14-16 16-17 17-18  
normalized bonds :  
1-2 1-6 2-3 3-4 4-5 5-6 18-19 18-23 19-20 20-21 21-22 22-23  
isolated ring systems :  
containing 1 : 18 :

Match level :  
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 8:CLASS 9:CLASS 10:CLASS 11:CLASS  
12:Atom 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:Atom 19:Atom  
20:Atom 21:Atom  
22:Atom 23:Atom 30:Atom 31:Atom

L4 STRUCTURE UPLOADED

FILE 'REGISTRY' ENTERED AT 12:01:32 ON 22 MAY 2008

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L2 548380 S NC2OC2/ES  
L3 57414 S L1 AND L2  
L4 STRUCTURE UPLOADED

L6 14 S L4 SSS FULL SUB=L3

FILE 'CAPLUS' ENTERED AT 12:02:25 ON 22 MAY 2008

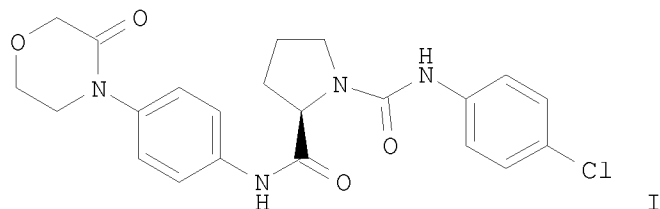
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L8 2 S US200!-551557/APPS  
L9 2 S L8 AND L7  
  
L11 3 S L7 NOT L8

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L9 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN  
AN 2004:857551 CAPLUS <<LOGINID::20080522>>  
DN 141:350179  
TI Preparation of azolidinedicarboxamides and related compounds as Factor Xa  
and Factor VIIa inhibitors  
IN Tsaklakidis, Christos; Dorsch, Dieter; Mederski, Werner; Cezanne, Bertram;

Gleitz, Johannes  
 PA Merck Patent GmbH, Germany  
 SO PCT Int. Appl., 162 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA German  
 FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004087646	A2	20041014	WO 2004-EP2350	20040308
	WO 2004087646	A3	20050106		
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	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
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	DE 10329295	A1	20050203	DE 2003-10329295	20030630
	AU 2004226278	A1	20041014	AU 2004-226278	20040308
	CA 2521069	A1	20041014	CA 2004-2521069	20040308
	BR 2004008420	A	20060321	BR 2004-8420	20040308
	JP 2006522033	T	20060928	JP 2006-504581	20040308
	EP 1720844	A2	20061115	EP 2004-718299	20040308
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	IN 2005KN01684	A	20070727	IN 2005-KN1684	20050823
	US 20060183739	A1	20060817	US 2005-551557	20051003 <--
PRAI	DE 2003-10315377	A	20030403		
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	US 2003-483897P	P	20030702		
	WO 2004-EP2350	W	20040308		
OS	MARPAT 141:350179				
GI					



AB R1R2(TYX)EWCOGD [R1, R2 = H, O, halo, A, ethynyl, OR3, N(R3)2, NO2, cyano, N3, CO2R3, CON(R3)2, etc.; R3 = H, A, HC.tplbond.CCH2, MeC.tplbond.CCH2, CH2CH(OH)CH2OH, etc.; R4 = H, A; W = N, C, CR3; E = atoms to form a 3-7 membered (heterocyclic) ring optionally containing a double bond; D = mono- or dinuclear (substituted) (hetero)aryl; G = [C(R4)2]n, [C(R4)2]nNR3, [C(R4)2]nO, [C(R4)2]nS, etc.; n = 0-2; X = [C(R4)2]nCO[C(R4)2]n, [C(R4)2]n, NR3[C(R4)2]n, [C(R4)2]nNR3CO[C(R4)2]n, etc.; Y = alkylene, cycloalkylene, heterocyclylene, arenediyl; T = substituted mono- or dinuclear carbocyclyl, heterocyclyl; A = (fluoro-substituted) alkyl

optionally interrupted by O, S, CH:CH], were prepared Thus, title compound (I) [preparation from 4-(4-aminophenyl)morpholin-3-one, Boc-D-proline, and 4-chlorophenyl isocyanate given] bound to Factor Xa receptors with IC50 = 1.8 + 10<sup>-8</sup> M.

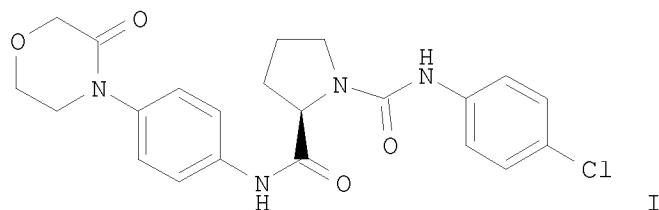
L9 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2004:841766 CAPLUS <<LOGINID::20080522>>  
 DN 141:332202  
 TI Preparation of azolidinecarboxamides as antithrombotics and anticancer drugs.  
 IN Tsaklakidis, Christos; Dorsch, Dieter; Mederski, Werner; Cezanne, Bertram; Gleitz, Johannes  
 PA Merck Patent GmbH, Germany  
 SO Ger. Offen., 47 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 10315377	A1	20041014	DE 2003-10315377	20030403
	AU 2004226278	A1	20041014	AU 2004-226278	20040308
	CA 2521069	A1	20041014	CA 2004-2521069	20040308
	WO 2004087646	A2	20041014	WO 2004-EP2350	20040308
	WO 2004087646	A3	20050106		
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	BR 2004008420	A	20060321	BR 2004-8420	20040308
	CN 1771237	A	20060510	CN 2004-80009354	20040308
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	EP 1720844	A2	20061115	EP 2004-718299	20040308
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	WO 2004087695	A1	20041014	WO 2004-EP2405	20040309
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EP 1608645	A1	20051228	EP 2004-718641	20040309
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BR 2004008444	A	20060404	BR 2004-8444	20040309
BR 2004008888	A	20060411	BR 2004-8888	20040309
CN 1771248	A	20060510	CN 2004-80009374	20040309
CN 1771249	A	20060510	CN 2004-80009463	20040309
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JP 2006522038	T	20060928	JP 2006-504604	20040309
AT 361296	T	20070515	AT 2004-718641	20040309
AT 366732	T	20070815	AT 2004-718646	20040309
ES 2285444	T3	20071116	ES 2004-718641	20040309
ES 2287708	T3	20071216	ES 2004-718646	20040309
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US 20060211692	A1	20060921	US 2005-551670	20050930
US 20060183739	A1	20060817	US 2005-551557	20051003 <--
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IN 2005KN02182	A	20060929	IN 2005-KN2182	20051103
IN 2005KN02183	A	20070323	IN 2005-KN2183	20051103
PRAI DE 2003-10315377	A	20030403		
DE 2003-10327428	A	20030618		
DE 2003-10329295	A	20030630		
DE 2003-10329457	A	20030701		
US 2003-483897P	P	20030702		
DE 2003-10334174	A	20030726		
DE 2003-10336570	A	20030808		
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WO 2004-EP2405	W	20040309		
WO 2004-EP2407	W	20040309		

OS MARPAT 141:332202  
 GI



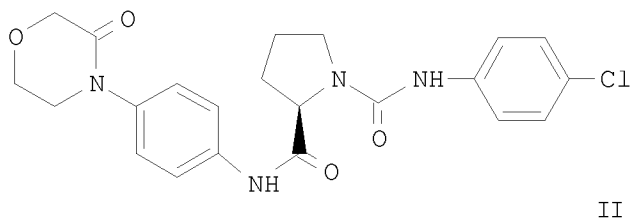
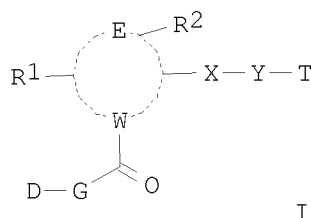
AB R1R2(TYX)EWCOGD [R1, R2 = H, O, halo, A, ethynyl, OR3, NO2, cyano, N3,  
 CO2R3, CON(R3)2, NR3COA, NR3SO2A, etc.; R1R2 = toms to form a bicyclic or  
 spirocyclic (heterocyclic) ring; R3 = H, A, etc.; R4 = H, A; W = N, CR3,

C; E = atoms to form a 3-7 membered (double bond containing) (heterocyclic) ring with W; G = [C(R4)2]n, [C(R4)2]nNR3, [C(R4)2]nO, [C(R4)2]nS; X = [C(R4)2]nCONR3[C(R4)2]n, [C(R4)2]nON[C(R4)2]n, etc.; Y = alkylene, cycloalkylene, (substituted) heterocyclylene, arylene; T = mono- or bicyclic substituted (unsatd.) (hetero)cyclyl; A = (fluoro-substituted) alkylene optionally interrupted by O, S, CH:CH; n = 0-2], were prepared Thus, title compound (I) (prepared from 4-(4-aminophenyl)morpholin-3-one, Boc-D-proline, and 4-chlorophenyl isocyanate), bound to Factor Xa receptors with IC50 = 1.8 + 10<sup>-8</sup> M.

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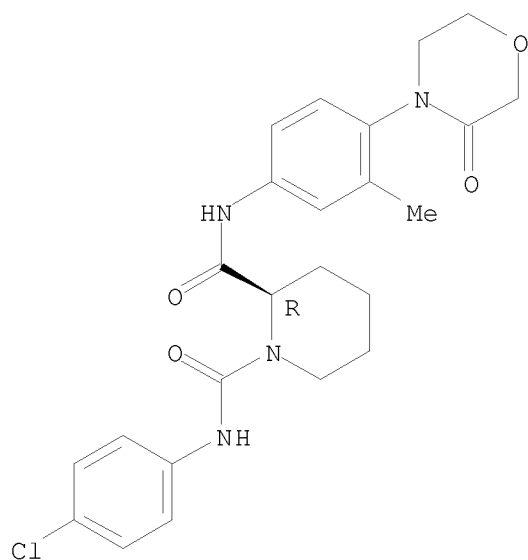
L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2006:273940 CAPLUS <<LOGINID::20080522>>  
 DN 144:331461  
 TI Drugs containing carbonyl compounds and their use for the prophylaxis and/or therapy of thromboembolic illnesses  
 IN Cezanne, Bertram; Dorsch, Dieter; Mederski, Werner; Tsaklakidis, Christos; Gleitz, Johannes  
 PA Merck Patent G.m.b.H., Germany  
 SO Ger. Offen., 77 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 102004045796	A1	20060323	DE 2004-102004045796	20040922
	AU 2005287637	A1	20060330	AU 2005-287637	20050824
	CA 2581172	A1	20060330	CA 2005-2581172	20050824
	WO 2006032342	A2	20060330	WO 2005-EP9124	20050824
	WO 2006032342	A3	20070111		
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	CN 101102818	A	20080109	CN 2005-80031723	20050824
	JP 2008513387	T	20080501	JP 2007-531628	20050824
	MX 200703175	A	20070518	MX 2007-3175	20070316
	KR 2007054210	A	20070528	KR 2007-706440	20070321
	US 20080003214	A1	20080103	US 2007-575711	20070321
	IN 2007KN01362	A	20070720	IN 2007-KN1362	20070418
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	WO 2005-EP9124	W	20050824		
OS	MARPAT 144:331461				
GI					



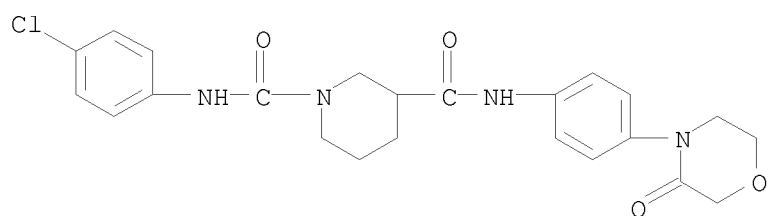
- AB Use of heterocyclic carbonyl compds. I [R1, R2 = H, :O,,halogen, A, C.tplbond.CH, OR3,N(R3)2, NO2, CN, N3, CO2R3, CON(R3)2, [C(R4)2]n-Ar, [C(R4)2]n-heterocyclyl, [C(R4)2]n-cycloalkyl, OC(:O)R3, OC(:O)N(R3)2, NR3COA, NR3SO2A; R1R2 = bi- or spirocyclic 3- to 7-membered carbocycle or heterocycle (containing 0 - 3 N, O, or S); R3 = H, A, CH2C.tplbond.CH, CH2CH(OH)CH2OH, CH2CH(OH)CH2NH2, CH2CH(OH)CH2-heterocycle, [C(R4)2]n-Ar, [C(R4)2]n-heterocyclyl, [C(R4)2]n-cycloalkyl, [C(R4)2]n-CO2A, [C(R4)2]nN(R4)2; R4 = H, A; EW = 3- to 7-membered carbocycle or heterocycle (containing 0 - 3 N, O, or S); W = N, CR3, sp2-C; D = mono- or binuclear, (un)substituted aromatic carbocycle or heterocycle (containing 0 - 3 N, O, or S); G = [C(R4)2]n, [C(R4)2]n-NR3, [C(R4)2]nO, [C(R4)2]nS, [CR4:CR4]n; X = [C(R4)2]nCONR3[C(R4)2]n, [C(R4)2]nNR3CO[C(R4)2]n, [C(R4)2]nNR3[C(R4)2]n, [C(R4)2]nO[C(R4)2]n, [C(R4)2]nC(:O)[C(R4)2]n, [C(R4)2]nCO2[C(R4)2]n; Y = alkylene, cycloalkylene, heterodiy, arylidiyl; T = mono- or binuclear, (un)substituted aromatic carbocycle or heterocycle (containing 0 - 3 N, O, or S); A = (un)branched C1-10-alkyl (optionally containing, O, S or CH:CH in the chain and replacing 1 - 7 H with F); n = 0 - 2; o = 1 - 3], their derivs., solvates, salts and stereoisomers, for the prophylaxis and/or therapy of thromboembolic illnesses. Thus, proline derivative II was prepared from N-Boc-D-proline via amidation with 4-(4-aminophenyl)morpholin-3-one in DMF containing 1-hydroxybenzotriazole hydrate, N-[3-(dimethylamino)propyl]-N'-ethylcarbodiimide hydrochloride and N-methylmorpholine, N-deprotection with aqueous HCl in dioxane and carbamylation with 4-ClC6H4NCO in CH2Cl2 containing Et3N. The receptor binding activity of II was determined [IC50 = 1.8 x 10<sup>-8</sup> M vs. FXa; IC50 = 2.3 x 10<sup>-8</sup> M vs. TF/FVIIa].
- IT 773888-69-0P 774602-56-1P 774602-57-2P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (drugs containing carbonyl compds. and their use for the prophylaxis and/or therapy of thromboembolic illnesses)
- RN 773888-69-0 CAPLUS
- CN 1,2-Piperidinedicarboxamide, N1-(4-chlorophenyl)-N2-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]-, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



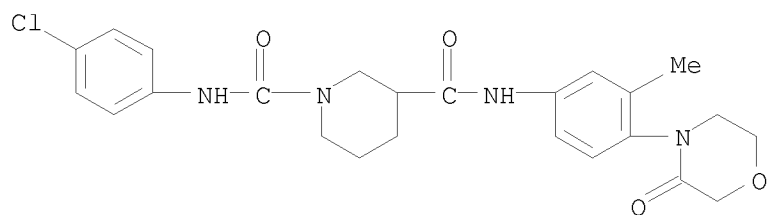
RN 774602-56-1 CAPLUS

CN 1,3-Piperidinedicarboxamide, N1-(4-chlorophenyl)-N3-[4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)



RN 774602-57-2 CAPLUS

CN 1,3-Piperidinedicarboxamide, N1-(4-chlorophenyl)-N3-[3-methyl-4-(3-oxo-4-morpholinyl)phenyl]- (CA INDEX NAME)



L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN

AN 2004:143100 CAPLUS <<LOGINID::20080522>>

DN 140:199315

TI Preparation of iminothiazolidinone amino acid derivatives as inhibitors of

HCV replication  
 IN Romine, Jeffrey Lee; Martin, Scott W.; Snyder, Lawrence B.; Serrano-Wu, Michael; Deshpande, Milind; Whitehouse, Darren; Lemm, Julie; O'Boyle, Donald; Gao, Min; Colonno, Richard  
 PA Bristol-Myers Squibb Company, USA  
 SO PCT Int. Appl., 127 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004014852	A2	20040219	WO 2003-US24717	20030808
	WO 2004014852	A3	20040422		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2003261434	A1	20040225	AU 2003-261434	20030808
	US 20050069522	A1	20050331	US 2003-637156	20030808
	US 20050096364	A1	20050505	US 2003-637099	20030808
	US 7183302	B2	20070227		
PRAI	US 2002-402661P	P	20020812		
	US 2002-403694P	P	20020815		
	WO 2003-US24717	W	20030808		
OS	MARPAT 140:199315				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

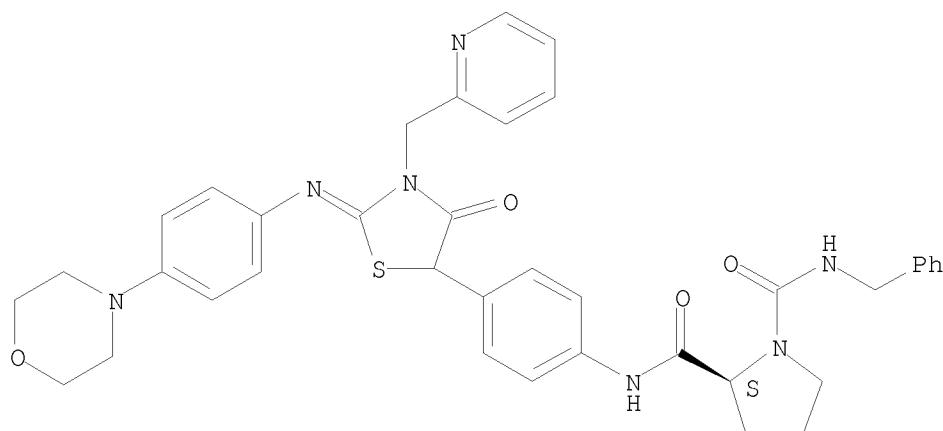
AB The title compound I [R1 = C1-C6 alkyl, C3-C7 cycloalkyl, C6-C10 aryl, C1-C6 alkoxy, C6-C10 aryloxy, C6-C10 aryl(C1-C6)alkyl, C6-C10 aryl(C1-C6)alkoxy, etc.; R2, R3 = independently C1-C6 alkyl, C3-C7 cycloalkyl, C6-C10 aryl, C1-C6 alkoxy, C6-C10 aryloxy, heterocyclyl, C6-C10 aryl(C1-C6)alkyl, C6-C10 aryl(C1-C6)alkoxy, etc., with the proviso that one of R2 or R3 can be a bond and R2 and R3 are joined to form a cyclic structure; R4 = C1-C4 alkyl, optionally substituted with 1-3 halo, 1-3 oxygen, or 1-3 nitrogen, said R4 having an S stereoconfiguration; R5 = H or a bond wherein R4 and R5 are joined to form a cyclic structure] were prepared as inhibitors of HCV replication. Thus, reaction of 5-(4-aminophenyl)-2-(3-fluorophenylimino)-3-furan-2-ylmethylthiazolidin-4-one (preparation given) with N-benzyloxycarbonyl-L-alanyl chloride gave compound II. The prepared compds. were assayed for the inhibition of HCV replicon cell line and were classified with activity of EC50 < 0,1 µM, 0.1 µM ≤ EC50 ≤ 1 µM, 1 µM ≤ EC50 ≤ 5 µM, or EC50 ≥ 5 µM.

IT 657414-07-8P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of iminothiazolidinone amino acid derivs. as inhibitors of HCV replication)



RN 657414-07-8 CAPLUS  
 CN 1,2-Pyrrolidinedicarboxamide, N2-[4-[2-[[4-(4-morpholinyl)phenyl]imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl]-N1-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.  
 Double bond geometry unknown.



L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN  
 AN 2004:142910 CAPLUS <<LOGINID::20080522>>  
 DN 140:199742  
 TI Preparation of iminothiazolidinone amino acid derivatives as combination pharmaceutical agents for use as inhibitors of HCV replication  
 IN Colonno, Richard; Lemm, Julie; O'Boyle, Donald; Gao, Min; Romine, Jeffrey Lee; Martin, Scott W.; Snyder, Lawrence B.; Serrano-Wu, Michael; Deshpande, Milind; Whitehouse, Darren  
 PA Bristol-Myers Squibb Company, USA  
 SO PCT Int. Appl., 129 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004014313	A2	20040219	WO 2003-US25036	20030808
	WO 2004014313	A3	20051215		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2003264038	A1	20040225	AU 2003-264038	20030808
	US 20050069522	A1	20050331	US 2003-637156	20030808
	US 20050096364	A1	20050505	US 2003-637099	20030808
	US 7183302	B2	20070227		
PRAI	US 2002-402661P	P	20020812		
	US 2002-403694P	P	20020815		

WO 2003-US25036 W 20030808  
OS MARPAT 140:199742  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Disclosed are combination pharmaceutical agents for the treatment of an HCV infection comprising a compound which is effective in inhibiting the function of the HCV NS5A protein and another compound having anti-HCV activity. Compds. which can inhibit the function of the NS5A protein have structure I [R1, R2, R3 are (cyclo)alkyl, aryl, alkoxy, aryloxy, arylalkyl, etc.; R4 is alkyl, optionally substituted by halogen, oxygen, or nitrogen; R2/R3 and R4/R5 can form rings] or their pharmaceutically-acceptable salt or prodrugs. Compds. having anti-HCV activity are selected from HCV metalloprotease, HCV serine protease, HCV polymerase, HCV helicase, etc. Thus, compound II was prepared by reaction of 5-(4-aminophenyl)-2-[(3-fluorophenyl)imino]-3-(furan-2-ylmethyl)thiazolidin-4-one (preparation given) with N-(benzyloxycarbonyl)-L-alanyl chloride (Cbz-L-Ala-Cl) and showed EC50 = 0.1-1  $\mu$ M in the HCV replicon cell line assay.

IT 657414-07-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of iminothiazolidinone amino acid derivs. as combination pharmaceutical agents for use as inhibitors of HCV replication)

RN 657414-07-8 CAPLUS

CN 1,2-Pyrrolidinedicarboxamide, N2-[4-[2-[[4-(4-morpholinyl)phenyl]imino]-4-oxo-3-(2-pyridinylmethyl)-5-thiazolidinyl]phenyl]-N1-(phenylmethyl)-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.  
Double bond geometry unknown.

